

**WHAT IS CLAIMED IS:**

1                   1. A method for delivery of a compound to the surface of, into or across a  
2 biological barrier, the method comprising contacting the barrier with a composition  
3 comprising the compound and a delivery-enhancing transporter,

4                   wherein the delivery-enhancing transporter comprises sufficient  
5 guanidino or amidino moieties to increase delivery of the compound into or across the  
6 barrier compared to delivery of the compound in the absence of the delivery-enhancing  
7 transporter.

1                   2. The method of claim 1, wherein the delivery-enhancing transporter  
2 comprises a peptide backbone.

1                   3. The method of claim 1, wherein the delivery-enhancing transporter  
2 comprises a non-peptide backbone.

1                   4. The method of claim 1, wherein the delivery-enhancing transporter  
2 comprises from 6 to 50 guanidino or amidino moieties.

1                   5. The method of claim 4, wherein the delivery-enhancing transporter  
2 comprises from 7 to 15 guanidino moieties.

1                   6. The method of claim 1, wherein the delivery-enhancing transporter  
2 comprises at least 6 contiguous subunits which each include a guanidino or amidino moiety.

1                   7. The method of claim 1, wherein the delivery-enhancing transporter  
2 comprises from 6 to 50 subunits, at least 50% of which include a guanidino or amidino  
3 moiety.

1                   8. The method of claim 7, wherein at least about 70% of the subunits in  
2 the delivery-enhancing transporter include a guanidino moiety.

1                   **9.** The method of claim **7**, wherein each subunit includes a guanidino  
2 moiety.

1                   **10.** The method of claim **7**, wherein the subunits are selected from the  
2 group consisting of L-arginine, D-arginine, L-homoarginine and D-homoarginine residues.

1                   **11.** The method of claim **10**, wherein each subunit is independently a D- or  
2 L-arginine residue.

1                   **12.** The method of claim **11**, wherein at least one subunit is D-arginine.

1                   **13.** The method of claim **12**, wherein all of the arginine residues have a D-  
2 configuration.

1                   **14.** The method of claim **1**, wherein the compound is a modified biological  
2 agent.

1                   **15.** The method of claim **1**, wherein the composition comprises at least two  
2 delivery-enhancing transporters.

1                   **16.** The method of claim **1**, wherein the barrier is an intact epithelial or  
2 endothelial tissue layer or layers.

1                   **17.** The method of claim **1**, wherein the compound is a diagnostic imaging  
2 or contrast agent.

1                   **18.** The method of claim **1**, wherein the compound is a non-nucleic acid.

1                   **19.** The method of claim **1**, wherein the compound is a non-polypeptide.

1                   **20.** The method of claim 1, wherein the compound is selected from the  
2 group consisting of antibacterials, antifungals, antivirals, antiproliferatives,  
3 immunosuppressives, vitamins, analgesics, and hormones.

1                   **21.** The method of claim 1, wherein the biological barrier is skin.

1                   **22.** The method of claim 21, wherein the compound is delivered into and  
2 across one or more of the stratum corneum, stratum granulosum, stratum lucidum and  
3 stratum germinativum.

1                   **23.** The method of claim 21, wherein the compound crosses the stratum  
2 corneum in the absence of skin pretreatment.

1                   **24.** The method of claim 21, wherein the composition is administered  
2 topically and the compound is taken up by cells that comprise the follicular or interfollicular  
3 epidermis.

1                   **25.** The method of claim 21, wherein the composition is administered by a  
2 transdermal patch.

1                   **26.** The method of claim 1, wherein the compound is a therapeutic agent for  
2 a condition selected from the group consisting of Crohn's disease, ulcerative colitis,  
3 gastrointestinal ulcers, peptic ulcer disease, and abnormal proliferative diseases.

1                   **27.** The method of claim 26, wherein the compound is a therapeutic for  
2 ulcers and is selected from the group consisting of an H<sub>2</sub> histamine inhibitor, an inhibitor of  
3 the proton-potassium ATPase, and an antibiotic directed at *Helicobacter pylori*.

1                   **28.** The method of claim 1, wherein the compound is a therapeutic agent for  
2 treating a bronchial condition selected from the group consisting of cystic fibrosis, asthma,  
3 allergic rhinitis, and chronic obstructive pulmonary disease.

1                   **29.** The method of claim 1, wherein the therapeutic agent is an  
2 antiinflammatory agent selected from the group consisting of a corticosteroid, cromolyn, and  
3 nedocromil.

1                   **30.** The method of claim 1, wherein the compound is a therapeutic agent for  
2 treating ischemia, Parkinson's disease, schizophrenia, cancer, acquired immune deficiency  
3 syndrome (AIDS), infections of the central nervous system, epilepsy, multiple sclerosis,  
4 neurodegenerative disease, trauma, depression, Alzheimer's disease, migraine, pain, and a  
5 seizure disorder.

1                   **31.** The method of claim 1, wherein the compound is selected from the  
2 group consisting of cyclosporin, insulin, a vasopressin, a leucine enkephalin, calcitonin, 5-  
3 fluorouracil, a salicylamide, a  $\beta$ -lactone, an ampicillin, a penicillin, a cephalosporin, a  $\beta$ -  
4 lactamase inhibitor, a quinolone, a tetracycline, a macrolide, a gentamicin, acyclovir,  
5 ganciclovir, a trifluoropyridine, and pentamidine.

1                   **32.** A composition comprising:  
2                   an effective amount of a biologically active agent;  
3                   a delivery-enhancing transporter having sufficient guanidino or amidino moieties to  
4                   increase delivery of the biologically active agent across a biological barrier  
5                   compared to the delivery of the biologically active agent in the absence of the  
6                   transporter; and  
7                   a pharmaceutically acceptable carrier.

1                   **33.** The composition of claim 32, wherein the biologically active agent is  
2 selected from the group consisting of antiviral agents, antibacterial agents, antifungal agents,  
3 antiproliferative agents, immunosuppressive agents, vitamins, analgesic agents and  
4 hormones.

1                   **34.** The composition of claim 33, wherein the biologically active agent is an  
2 antiviral agent selected from the group consisting of acyclovir, famciclovir, ganciclovir,

3 foscarnet, idoxuridine, sorivudine, trifluridine, valacyclovir, cidofovir, didanosine,  
4 stavudine, zalcitabine, zidovudine, ribavirin and rimantatine.

1                   **35.** The composition of claim 32, wherein the biologically active agent is an  
2 antibacterial agent selected from the group consisting of nafcillin, oxacillin, penicillin,  
3 amoxacillin, ampicillin, cefotaxime, ceftriaxone, rifampin, minocycline, ciprofloxacin,  
4 norfloxacin, erythromycin and vancomycin.

1                   **36.** The composition of claim 32, wherein the biologically active agent is an  
2 antifungal agent selected from the group consisting of amphotericin, itraconazole,  
3 ketoconazole, miconazole, nystatin, clotrimazole, fluconazole, ciclopirox, econazole,  
4 naftifine, terbinafine and griseofulvin.

1                   **37.** The composition of claim 32, wherein the biologically active agent is an  
2 antineoplastic agent selected from the group consisting of pentostatin, 6-mercaptopurine, 6-  
3 thioguanine, methotrexate, bleomycins, etoposide, teniposide, dactinomycin, daunorubicin,  
4 doxorubicin, mitoxantrone, hydroxyurea, 5-fluorouracil, cytarabine, fludarabine, mitomycin,  
5 cisplatin, procarbazine, dacarbazine, paclitaxel, colchicine, and the vinca alkaloids.

1                   **38.** The composition of claim 32, wherein the biologically active agent is an  
2 immunosuppressive agent selected from the group consisting of methotrexate, azathioprine,  
3 fluorouracil, hydroxyurea, 6-thioguanine, chclophosphamide, mechloroethamine  
4 hydrochloride, carmustine, cyclosporine, taxol, tacrolimus, vinblastine, dapsone and  
5 sulfasalazine..

1                   **39.** The composition of claim 32, wherein the biologically active agent is an  
2 analgesic agent selected from the group consisting of lidocaine, bupivacaine, novocaine,  
3 procaine, tetracaine, benzocaine, cocaine, mepivacaine, etidocaine, proparacaine ropivacaine  
4 and prilocaine.

1                   **40.** The composition of claim 33, wherein the delivery enhancing  
2 transporter is a peptide having from about 6 to about 15 amino acids residues wherein from 6

- 3 to about 12 residues are selected from the group consisting of L-arginine, D-arginine, L-
- 4 homoarginine and D-homoarginine.

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